

10/526,249 02/09/2009

=> d 14

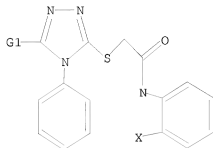
L4 HAS NO ANSWERS

L1 SCR 963 AND 1948 AND 1995 AND 2004 AND 2021 AND 1929 AND 1

840

L2 SCR 1821 OR 1822 OR 1823 OR 1824

L3 STR



G1 X, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

Structure attributes must be viewed using STN Express query preparation.

L4 QUE ABB=ON PLU=ON L3 AND L1 AND L2

=> s 14 sss full

FULL SEARCH INITIATED 10:01:52 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 12109 TO ITERATE

100.0% PROCESSED 12109 ITERATIONS

136 ANSWERS

SEARCH TIME: 00.00.01

L6 136 SEA SSS FUL L3 AND L1 AND L2

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L7 6 L6

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:493691 CAPLUS <<LOGINID:20090209>>

DOCUMENT NUMBER: 141:54347

TITLE: A preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors, useful for the treatment of HIV-1

INVENTOR(S): Simoneau, Bruno; Thavonekham, Bounkham; Landry, Serge; O'Meara, Jeffrey; Yoakim, Christiane; Faucher, Anne-Marie

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

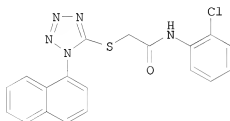
APPLICATION NO.

DATE

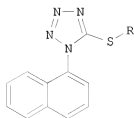
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WO 2004050643	A2	20040617	WO 2003-CA1870	20031201
WO 2004050643	A3	20040910		
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US 20050054639	A1	20050310	US 2003-719369	20031121
CA 2505033	A1	20040617	CA 2003-2505033	20031201
AU 2003287806	A1	20040623	AU 2003-287806	20031201
EP 1569919	A2	20050907	EP 2003-779603	20031201
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003016385	A	20051004	BR 2003-16385	20031201
CN 1720043	A	20060111	CN 2003-80105164	20031201
JP 2006514936	T	20060518	JP 2004-555920	20031201
IN 2005DN02266	A	20070406	IN 2005-DN2266	20050527
MX 2005005871	A	20050829	MX 2005-5871	20050602
NO 2005002712	A	20050627	NO 2005-2712	20050606
PRIORITY APPLN. INFO.:			US 2002-430796P	P 20021204
			WO 2003-CA1870	W 20031201

OTHER SOURCE(S): MARPAT 141:54347

GI



I



II

AB The invention relates to heterocyclic compds. of formula Ar1-X-W-Ar2 [wherein: Ar1 is (un)substituted 5- or 6-membered aromatic heterocycle containing

N, O, or S; Ar2 is (un)substituted Ph or pyridine derivative; X is a

heteroatom (O, S, S(O), or SO₂, etc.), a valence bond or an optionally substituted divalent methylene, etc.; W is a divalent alkylene or (un)substituted alkyleneamido, amido, or oxy radicals, etc.], useful for the treatment of HIV-1. The invention compds. were screened in reverse transcriptase assays (enzymic assay, P24 cellular assay, and C8166 HIV-1 Luciferase assay). The compds. have inhibitory activity against Wild Type (WT) and single or double mutant strains of HIV. For instance, tetrazole derivative I (WT IC₅₀ < 50 nM; K103N/Y181C EC₅₀ > 100 nM) was prepared via heterocyclization of 1-naphthalenyliothiocyanate with NaN₃, acetylation of the obtained tetrazolethione derivative II (R = H), and subsequent amidation of the obtained carboxylic acid II (R = CH₂CO₂H) by o-chloroaniline (example 1, entry 208).

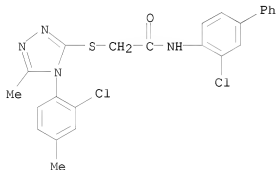
IT 705970-87-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors)

RN 705970-87-2 CAPLUS

CN Acetamide, N-(3-chloro[1,1'-biphenyl]-4-yl)-2-[[4-(2-chloro-4-methylphenyl)-5-methyl-4H-1,2,4-triazol-3-yl]thio]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:308354 CAPLUS <<LOGINID:20090209>>

DOCUMENT NUMBER: 140:317121

TITLE: Non-nucleoside reverse transcriptase inhibitors for use in treatment of HIV infection

INVENTOR(S): Girardet, Jean-Luc; Zhang, ZhiJun; Hamatake, Robert; de la Rosa Hernandez, Martha A.; Gunic, Esmir; Hong, Zhi; Kim, Hongwoo; Koh, Yung-Hyo; Nilar, Shahul; Shaw, Stephanie; Yao, Nanhua

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004030611	A2	20040415	WO 2003-US27433	20030822
WO 2004030611	A3	20040617		
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AU 2003295324	A1	20040423	AU 2003-295324	20030822
AU 2003295324	B2	20080828		
BR 2003013747	A	20050621	BR 2003-13747	20030822
EP 1545483	A2	20050629	EP 2003-786506	20030822
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CN 1697650	A	20051116	CN 2003-824337	20030822
JP 2006505543	T	20060216	JP 2004-541500	20030822
MX 2005002070	A	20050705	MX 2005-2070	20050222
IN 2005CN00455	A	20070406	IN 2005-CN455	20050322
US 20060135556	A1	20060622	US 2005-526249	20050803
US 20080249131	A1	20081009	US 2008-114467	20080502
AU 2008246249	A1	20081211	AU 2008-246249	20081119
PRIORITY APPLN. INFO.:			WO 2002-US26816	A 20020823
			AU 2003-295324	A3 20030822
			WO 2003-US27433	W 20030822
			US 2005-526249	A3 20050803

OTHER SOURCE(S): MARPAT 140:317121

AB Carbonyl amides HET-L-C(Y)-NR1R2 [I; HET = heterocycle; L = linker with at least two atoms; Y = O, S, NR3; R1,R3 = H, halo, lower alkyl; R2 = (substituted)aryl, cycloalkyl, cycloalkenyl, heterocycle] are disclosed which function in vitro and in vivo as non-nucleoside inhibitors of reverse transcriptase, particularly of HIV reverse transcriptase. Therefore, I may be employed in the treatment of HIV1-infected patients.

IT 338426-17-8 482661-10-9 677325-66-5
677325-71-2 677325-73-4 677325-75-6

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-nucleoside reverse transcriptase inhibitors for use in treatment of HIV infection)